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*Has received an application for a patent for a new and useful invention. The title and description of the invention are enclosed. The requirements of law have been complied with, and it has been determined that a patent on the invention shall be granted under the law.*

*Therefore, this*

United States Patent

*Grants to the person or persons having title to this patent the right to exclude others from making, using or selling the invention throughout the United States of America for the term of seventeen years from the date of this patent, subject to the payment of maintenance fees as provided by law.*

*Bruce Lehman*

Commissioner of Patents and Trademarks

*Sandra J. Morton*  
Attest

United States Patent [19]  
Kohn et al.

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[54] AMINO ACID DERIVATIVE  
ANTICONVULSANT

[75] Inventors: Harold L. Kohn, Houston; Darrell Watson, Belton, both of Tex.  
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Related U.S. Application Data

[63] Continuation-in-part of Ser. No. 354,057, May 19, 1989, abandoned, and Ser. No. 392,870, Aug. 11, 1989, abandoned, which is a continuation of Ser. No. 80,528, Jul. 31, 1987, abandoned, which is a continuation-in-part of Ser. No. 916,254, Oct. 7, 1986, abandoned, which is a continuation-in-part of Ser. No. 702,195, Feb. 15, 1985, abandoned, said Ser. No. 354,057, is a continuation-in-part of Ser. No. 80,528, Feb. 15, 1985.  
[51] Int. Cl. 6 ..... A61K 31/535; A61K 31/445; C07D 211/72; C07D 261/04  
[52] U.S. Cl. ..... 514/231.2; 514/315; 514/397; 514/406; 514/415; 514/424; 514/461; 514/468; 514/486; 546/292; 548/371.4; 548/245; 564/148; 564/152; 564/154  
[58] Field of Search ..... 564/148, 155, 154, 152; 548/616, 245, 371.4; 514/461, 548, 549; 546/292

[56] References Cited

U.S. PATENT DOCUMENTS

2,676,188 4/1954 Bruce et al. ..... 564/155  
2,721,197 10/1955 Sheehan ..... 564/155  
3,340,147 9/1967 Martin et al. ..... 514/616  
3,657,341 4/1972 Thorne et al. ..... 260/558 A  
3,707,559 12/1972 Mazur et al. ..... 564/158  
4,018,826 4/1977 Gless, Jr. et al. ..... 564/215  
4,260,684 4/1981 Schult ..... 564/155  
4,303,673 12/1981 Biedermann et al. ..... 564/155  
4,513,009 4/1985 Roques et al. ..... 564/155  
4,595,700 6/1986 Donald et al. ..... 514/616  
4,618,708 10/1986 Roques et al. ..... 564/154  
4,873,241 10/1989 Napier et al. ..... 514/237.8

FOREIGN PATENT DOCUMENTS

0885303 3/1981 Belgium .  
0194464 2/1980 European Pat. Off. .  
0007441 10/1980 European Pat. Off. .  
0038758 10/1981 European Pat. Off. .  
0042626 12/1981 European Pat. Off. .  
0046707 3/1982 European Pat. Off. .  
0263506 10/1987 European Pat. Off. .  
0400400 5/1990 European Pat. Off. ✓  
1927692 12/1969 Germany .  
0393355 10/1965 Switzerland .  
1051220 12/1966 United Kingdom .

OTHER PUBLICATIONS

Chemical Abstracts, vol. 92; No. 7:51712r (Feb. 18, 1990).  
Chemical Abstracts, vol. 96; No. 5:35710r (Feb. 1, 1982).  
Chemical Abstracts, vol. 101; No. 9; 72124v (Aug. 27, 1984).  
Chemical Abstracts, vol. 91; No. 21:175147; (Nov. 19, 1979).

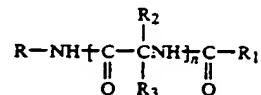
(List continued on next page.)

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[57]

ABSTRACT

The present invention relates to compounds exhibiting central nervous system (CNS) activity which are useful in the treatment of epilepsy and other CNS disorders. The compounds of this invention have the following general formula:



and pharmaceutically acceptable salts thereof.

150 Claims, No Drawings